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EXAMPLE 46

4,5-DIFLUORO-10-AZA-TRICYCLO[6.3.1.0^{2,7}]DODECA-2(7),3,5-TRIENE HYDROCHLORIDE

The title compound was prepared by the methods described in Example 1 and 2 starting with 2,4,5-trifluorobromobenzene. ¹H NMR (400 MHz, CDCl₃) δ 7.31 (t, J=8.5 Hz, 2H), 3.48–3.13 (6H), 2.38 (m, 1H), 2.11 (d, J=11.5 Hz, 1H). APCI MS m/e 196.2 [(M+1)⁺]. (HCl salt) mp 301–303° C. Anal. Calcd. for C₁₁H₁₁F₂N.HCl.1/6H₂O: C, 56.30; H, 5.30; N, 5.97. Found C, 56.66; H, 5.41; N, 5.96.

EXAMPLE 47

6-ETHYL-5-OXA-7,13-DIAZATETRACYCLO[9.3.1.0^{2,10}.0^{4,8}]PENTADEC-2(10),3,6,8-TETRAENE HYDROCHLORIDE

2,2,2-Trifluoro-1-(4-hydroxy-5-amino-10-aza-tricyclo[6.3.1.0^{2,7}]dodeca-2(7),3,5-trien-10-yl)-ethanone and propionyl chloride were converted to the title compound following the procedures described in Example 30 and Goldstein, S. W.; Dambek, P. J., *J. Het. Chem.* 1990, 27, 335. ¹H NMR (400 MHz, CD₃OD) δ 7.64 (s, 1H), 7.62 (s, 1H), 3.48 (d, J=2.5 Hz, 2H), 3.41 (d, J=12.0 Hz, 2H), 3.20 (2H), 3.01 (q, J=7.5 Hz, 2H), 2.45 (m, 1H), 2.17 (d, J=11.5 Hz, 1H), 1.42 (t, J=7.5 Hz, 3H). APCI MS m/e 229.2 [(M+1)⁺].

EXAMPLE 48

6-ISOPROPYL-5-OXA-7,13-DIAZATETRACYCLO[9.3.1.0^{2,10}.0^{4,8}]PENTADEC-2(10),3,6,8-TETRAENE HYDROCHLORIDE

2,2,2-Trifluoro-1-(4-hydroxy-5-amino-10-aza-tricyclo[6.3.1.0^{2,7}]dodeca-2(7),3,5-trien-10-yl)-ethanone and isobutyl chloride were converted to the title compound following the procedures described in EXAMPLE 47. (TLC 25% EtOAc/hexanes R_f 0.14). ¹H NMR (400 MHz, CD₃OD) δ 7.65 (2H), 3.49 (br s, 2H), 3.41 (d, J=12.0 Hz, 2H), 3.33–3.19 (3H), 2.45 (m, 1H), 2.18 (d, J=11.5 Hz, 1H), 1.45 (d, J=7.0 Hz, 6H). APCI MS m/e 243.2 [(M+1)⁺]. (HCl salt) mp 249–251° C.

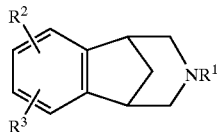
EXAMPLE 49

6-BENZYL-5-OXA-7,13-DIAZATETRACYCLO[9.3.1.0^{2,10}.0^{4,8}]PENTADEC-2(10),3,6,8-TETRAENE HYDROCHLORIDE

2,2,2-Trifluoro-1-(4-hydroxy-5-amino-10-aza-tricyclo[6.3.1.0^{2,7}]dodeca-2(7),3,5-trien-10-yl)-ethanone and phenyl-acetyl chloride were converted to the title compound following the procedures described in EXAMPLE 47. ¹H NMR (400 MHz, CD₃OD) δ 7.63 (s, 1H), 7.58 (s, 1H), 7.36–7.24 (5H), 4.29 (s, 2H), 3.46 (d, J=2.5 Hz, 2H), 3.39 (d, J=12.0 Hz, 2H), 3.18 (2H), 2.42 (m, 1H), 2.15 (d, J=11.5 Hz, 1H). APCI MS m/e 291.2 [(M+1)⁺].

What is claimed is:

1. A compound of the formula



R¹ is hydrogen, (C₁–C₆)alkyl, unconjugate (C₃–C₆) alkenyl, XC(=O)R¹³, benzyl or —CH₂CH₂—O—(C₁–C₄)alkyl;

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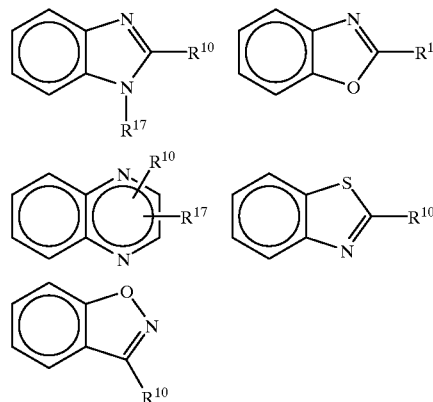
R² and R³, together with the carbons to which they are attached, form a four to seven membered monocyclic, or ten to fourteen membered bicyclic, carbocyclic ring that can be saturated or unsaturated, wherein from one to three of the nonfused carbon atoms of said monocyclic rings, and from one to five of the carbon atoms of said bicyclic rings that are not part of the benzo rings shown in formula I, may optionally and independently be replaced by a nitrogen, oxygen or sulfur, and wherein said monocyclic and bicyclic rings may optionally be substituted with one or more substituents that are selected, independently, from (C₁–C₆) alkyl optionally substituted with from one to seven fluorine atoms; (C₁–C₆)alkoxy optionally substituted with from one to seven fluorine atoms; nitro, cyano, halo, (C₂–C₆) alkenyl, (C₂–C₆)alkynyl, hydroxy, amino, (C₁–C₆) alkylamino and ((C₁–C₆)alkyl)₂amino, —CO₂R⁴, —CONR⁵R⁶, —SO₂NR⁷R⁸, —C(=O)R¹³ and —XC(=O)R¹³;

wherein each R⁴, R⁵, R⁶, R⁷, R⁸ and R¹³ is selected, independently, from hydrogen and (C₁–C₆) alkyl, or R⁵ and R⁶, or R⁷ and R⁸ together with the nitrogen to which they are attached, form a pyrrolidine, piperidine, morpholine, azetidine, piperazine, —N—(C₁–C₆) alkylpiperazine or thiomorpholine ring, or a thiomorpholine ring wherein the ring sulfur is replaced with a sulfoxide or sulfone; and

each X is, independently, (C₁–C₆)alkylene;

or a pharmaceutically acceptable salt thereof.

2. A compound according to claim 1, wherein R² and R³, together with the benzo of formula I, form a bicyclic ring system selected from the following:



wherein R¹⁰ and R¹⁷ are selected, independently, from (C₁–C₆) alkyl optionally substituted with from one to seven fluorine atoms; (C₁–C₆) alkoxy optionally substituted with from one to seven fluorine atoms; (C₂–C₆) alkenyl, (C₂–C₆) alkynyl, hydroxy, amino, (C₁–C₆)alkylamino and ((C₁–C₆) alkyl)₂amino, —CO₂R⁴, —CONR⁵R⁶, —SO₂NR⁷R⁸, —C(=O)R¹³ and —XC(=O)R¹³ and wherein R⁴, R⁵, R⁶, R⁷, R⁸ and R¹³ are as defined in claim 1.

3. A compound according to claim 1 selected from the group consisting of:

- 5,7,13-triazatetracyclo[9.3.1.0^{2,10}.0^{4,8}]pentadeca-2(10),3,5,8-tetraene;
- 7-methyl-5,7,13-triazatetracyclo[9.3.1.0^{2,10}.0^{4,8}]pentadeca-2(10),3,5,8-tetraene;
- 6-methyl-5,7,13-triazatetracyclo[9.3.1.0^{2,10}.0^{4,8}]pentadeca-2(10),3,5,8-tetraene;